

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
28 October 2004 (28.10.2004)

PCT

(10) International Publication Number  
WO 2004/092130 A3

(51) International Patent Classification<sup>7</sup>: C07D 213/79,  
213/80, 401/12, 403/12, 413/12, 417/12, A61K 31/423,  
31/428, 31/454, 31/465, 31/502, 31/506, A61P 3/00

(21) International Application Number:  
PCT/US2004/010737

(22) International Filing Date: 7 April 2004 (07.04.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/461,574 7 April 2003 (07.04.2003) US  
60/461,606 7 April 2003 (07.04.2003) US  
60/461,586 7 April 2003 (07.04.2003) US

(71) Applicant (for all designated States except US): KALYP-  
SYS, INC. [US/US]; 11099 North Torrey Pines Road, La  
Jolla, CA 92037 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): LIU, Kevin  
[US/US]; San Diego, CA (US). ZHAO, Cunxiang  
[US/CN]; 4178 Decoro Street #18, San Diego, CA 92122  
(US).

(74) Agent: BLAYLOCK, Richard, L.; Pillsbury Winthrop,  
LLP, 11682 El Camino Real, Suite 200, San Diego, CA  
92130 (US).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), Euro-  
pean (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR,  
GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK,  
TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,  
ML, MR, NE, SN, TD, TG).

Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

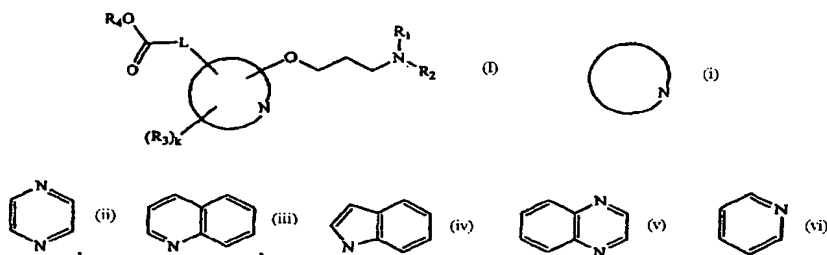
Published:

— with international search report

(88) Date of publication of the international search report:  
13 January 2005

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: N-CONTAINING HETEROAROMATIC COMPOUNDS AS MODULATORS OF PPARS AND METHODS OF  
TREATING METABOLIC DISORDERS



(57) Abstract: Compounds as modulators of peroxisome proliferator activated receptors, pharmaceutical compositions comprising the same, and methods of treating disease using the same are disclosed, (I) wherein (i) is a monocyclic or bicyclic aromatic moiety in which at least one of the ring atoms is N and selected from the group consisting of (ii), (iii), (iv), (v), and (vi); L is selected from the group consisting of a bond and CH<sub>2</sub>.

WO 2004/092130 A3

## INTERNATIONAL SEARCH REPORT

Application No

PCT/US2004/010737

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D213/79 C07D213/80 C07D401/12 C07D403/12 C07D413/12  
 C07D417/12 A61K31/423 A61K31/428 A61K31/454 A61K31/465  
 A61K31/502 A61K31/506 A61P3/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, BEILSTEIN Data, WPI Data, PAJ, CHEM ABS Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	RICE L M ET AL: "Xanthoquininic Acid Derivatives" JOURNAL OF MEDICINAL CHEMISTRY, vol. 14, no. 4, 1971, pages 369-370, XP002296050 formula II on page 369, column 2 and compound 10 in table 1 on page 370	1
X	US 4 206 117 A (BOELL WALTER ET AL) 3 June 1980 (1980-06-03) claims 1,12; table 4; compound 19	1,120
X	WO 02/30895 A (BAYER AG) 18 April 2002 (2002-04-18) page 12, line 19 - page 13, line 1; claims 1-3,10,13-17,46-48,52,55,57; examples 159,234	1-124
	----- -/-	

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- \*&\* document member of the same patent family

Date of the actual completion of the international search

13 September 2004

Date of mailing of the international search report

11/10/2004

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
 NL - 2280 HV Rijswijk  
 Tel (+31-70) 340-2040, Tx. 31 651 epo nl,  
 Fax: (+31-70) 340-3018

Authorized officer

Hanisch, I

## INTERNATIONAL SEARCH REPORT

Application No

PCT/US2004/010737

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00/64888 A (MCGEEHAN GERARD M ; ZHANG LITAO (US); BOBKO MARK (US); JAYYOSI ZAID (U) 2 November 2000 (2000-11-02) claims 1,53-69 -----	1-124
Y	WO 97/28149 A (AUWERX JOHAN ; BERGER JOEL P (US); MERCK & CO INC (US); MOLLER DAVID E) 7 August 1997 (1997-08-07) cited in the application page 7, line 17 - page 10, line 33; claims; example 12 -----	1-124
Y	EP 1 067 109 A (ONO PHARMACEUTICAL CO) 10 January 2001 (2001-01-10) claims, examples 1(22), 1(82)-1(87), 1(91)-1(96), 1(100)-1(123), 1(125)-1(128), 2(22)-2(24) -----	1-124

# INTERNATIONAL SEARCH REPORT

application No.  
PCT/US2004/010737

## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 97-119 are directed to a method of treatment of the human/animal body and claims 97 and 98 additionally appear to relate to a diagnostic method practised on the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## INTERNATIONAL SEARCH REPORT

Application No

PCT/US2004/010737

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
US 4206117	A	03-06-1980	DE 2711655 A1 21-09-1978
			AT 364361 B 12-10-1981
			AT 84080 A 15-03-1981
			AT 364360 B 12-10-1981
			AT 187878 A 15-03-1981
			AU 525566 B2 11-11-1982
			AU 3419578 A 20-09-1979
			BE 864917 A1 15-09-1978
			CA 1108148 A1 01-09-1981
			CH 638186 A5 15-09-1983
			CS 202011 B2 31-12-1980
			CS 202012 B2 31-12-1980
			DD 134090 A5 07-02-1979
			DE 2760038 C2 16-02-1989
			DK 118578 A 18-09-1978
			ES 467931 A1 01-07-1979
			FI 780842 A ,B, 18-09-1978
			FR 2383930 A1 13-10-1978
			GB 1599061 A 30-09-1981
			GR 64096 A1 22-01-1980
			HU 177287 B 28-09-1981
			IE 46484 B1 29-06-1983
			IT 1093796 B 26-07-1985
			JP 1357486 C 13-01-1987
			JP 53116382 A 11-10-1978
			JP 61023790 B 07-06-1986
			LU 79235 A1 28-06-1978
			NL 7802719 A ,B, 19-09-1978
			NO 780931 A ,B, 19-09-1978
			SE 446185 B 18-08-1986
			SE 7802927 A 18-09-1978
			SU 799658 A3 23-01-1981
			SU 850002 A3 23-07-1981
			YU 63678 A1 21-01-1983
			YU 225282 A1 28-02-1983
			ZA 7801552 A 25-04-1979
WO 0230895	A	18-04-2002	AU 1190102 A 22-04-2002
			CA 2427499 A1 18-04-2002
			EP 1341761 A1 10-09-2003
			HU 0302477 A2 29-12-2003
			NO 20031619 A 02-06-2003
			WO 0230895 A1 18-04-2002
			US 2003087902 A1 08-05-2003
WO 0064888	A	02-11-2000	AU 4689500 A 10-11-2000
			BR 0010605 A 13-02-2002
			CA 2370250 A1 02-11-2000
			CN 1349525 T 15-05-2002
			CZ 20013833 A3 13-02-2002
			EE 200100556 A 17-02-2003
			EP 1177187 A1 06-02-2002
			HR 20010795 A1 28-02-2003
			HU 0201291 A2 28-09-2002
			JP 2002543073 T 17-12-2002
			NO 20015075 A 23-11-2001
			NZ 515086 A 31-10-2003
			PL 351409 A1 22-04-2003

# INTERNATIONAL SEARCH REPORT

Information on patent family members

Application No

PCT/US2004/010737

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 0064888 A		SK 15532001 A3	04-06-2002
		WO 0064888 A1	02-11-2000
		US 6635655 B1	21-10-2003
		ZA 200108798 A	05-03-2003
WO 9728149 A	07-08-1997	AT 245622 T	15-08-2003
		AU 1856997 A	22-08-1997
		AU 721452 B2	06-07-2000
		AU 2115997 A	22-08-1997
		CA 2245529 A1	07-08-1997
		DE 69723680 D1	28-08-2003
		DE 69723680 T2	15-04-2004
		EP 0888278 A1	07-01-1999
		ES 2202582 T3	01-04-2004
		JP 2002503202 T	29-01-2002
		WO 9728115 A1	07-08-1997
		WO 9728149 A1	07-08-1997
		AU 712607 B2	11-11-1999
		AU 1858197 A	22-08-1997
		CA 2244831 A1	07-08-1997
		EP 1011651 A1	28-06-2000
		JP 2000504021 T	04-04-2000
		WO 9727847 A1	07-08-1997
		AT 262334 T	15-04-2004
		AU 719146 B2	04-05-2000
		AU 2250797 A	22-08-1997
		CA 2245524 A1	07-08-1997
		DE 69728267 D1	29-04-2004
		EP 0904079 A1	31-03-1999
		JP 2002515865 T	28-05-2002
		WO 9727857 A1	07-08-1997
		AT 236137 T	15-04-2003
		AU 708055 B2	29-07-1999
		AU 1856397 A	22-08-1997
		CA 2244836 A1	07-08-1997
		DE 69720429 D1	08-05-2003
		DE 69720429 T2	15-01-2004
		EP 0882029 A1	09-12-1998
		ES 2194179 T3	16-11-2003
		JP 2002503203 T	29-01-2002
		WO 9728137 A1	07-08-1997
		US 5859051 A	12-01-1999
		US 6090836 A	18-07-2000
		ZA 9700824 A	30-10-1998
		US 6020382 A	01-02-2000
		US 5847008 A	08-12-1998
		AU 719663 B2	11-05-2000
		AU 5615298 A	17-07-1998
		CA 2275394 A1	02-07-1998
		EP 0948327 A1	13-10-1999
		JP 2001511767 T	14-08-2001
		WO 9827974 A1	02-07-1998
		US 6160000 A	12-12-2000
		US 6515015 B1	04-02-2003
		US 6090839 A	18-07-2000
EP 1067109 A	10-01-2001	AU 3275999 A	27-09-1999
		EP 1067109 A1	10-01-2001

# INTERNATIONAL SEARCH REPORT

Information on patent family members

Application No

PCT/US2004/010737

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
---	---------------------	----------------------------	---------------------

EP 1067109	A	US 6506757 B1	14-01-2003
		WO 9946232 A1	16-09-1999
		US 2003153579 A1	14-08-2003

---